

PRODUCT INFORMATION



TMI-005

Item No. 29016

CAS Registry No.: 287405-51-0

Formal Name: (3S)-N-hydroxy-4-[[4-[[4-hydroxy-2-butyn-1-yl]oxy]phenyl]sulfonyl]-2,2-dimethyl-3-thiomorpholinecarboxamide

Synonym: Apratastat

MF: C₁₇H₂₂N₂O₆S₂

FW: 414.5

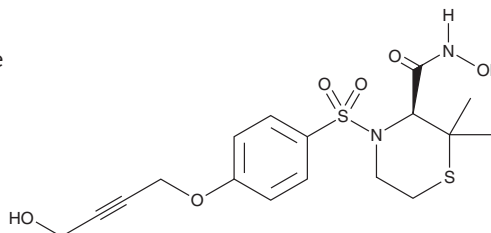
Purity: ≥98%

UV/Vis.: λ_{max}: 242 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TMI-005 is supplied as a crystalline solid. A stock solution may be made by dissolving the TMI-005 in the solvent of choice, which should be purged with an inert gas. TMI-005 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TMI-005 in ethanol is approximately 10 mg/ml and approximately 25 mg/ml in DMSO and DMF.

TMI-005 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TMI-005 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TMI-005 has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TMI-005 is an inhibitor of disintegrin and metalloproteinase domain-containing protein 17/TNF-α converting enzyme (ADAM17/TACE), matrix metalloproteinase-1 (MMP-1), and MMP-13 (IC₅₀s = 20, 33, and 8.1 nM, respectively).¹ It inhibits LPS-induced TNF-α release in isolated human whole blood (IC₅₀ = 144 ng/ml).² TMI-005 (25 μM) reduces basal and ionizing radiation-induced secretion of the ADAM17/TACE substrates ALCAM and amphiregulin from A549 and NCI H125 cells.³ It inhibits proliferation of A549 cells when used at concentrations of 25 and 50 μM and sensitizes A549 and NCI H125 cells to ionizing radiation at 25 μM. TMI-005 (25 mg/kg twice per day) reduces tumor growth in an A549 mouse xenograft model when administered in combination with ionizing radiation.

References

1. Levin, J.I., Chen, J.M., and Cole, D.C. Acetylenic α-amino acid-based sulfonamide hydroxamic acid tace inhibitors. *American Cyanamid Company*. **WO 00/44709** (2000).
2. Shu, C., Zhou, H., Afsharvand, M., et al. Pharmacokinetic-pharmacodynamic modeling of apratastat: A population-based approach. *J. Clin. Pharmacol.* **51(4)**, 472-481 (2011).
3. Sharma, A., Bender, S., Zimmerman, M., et al. Secretome signature identifies ADAM17 as novel target for radiosensitization of non-small cell lung cancer. *Clin. Cancer Res.* **22(17)**, 4428-4439 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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